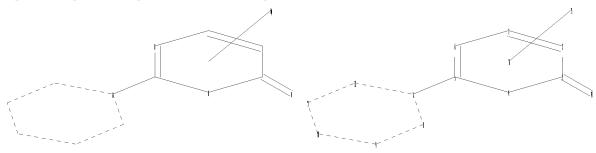
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Uploading C:\Program Files\Stnexp\Queries\10538766.str

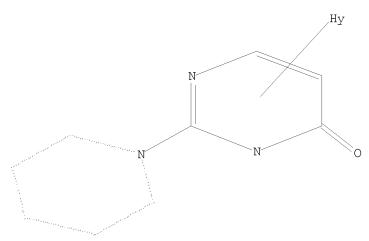


```
chain nodes :
14 15
ring nodes :
                 6 7 8 9 10 11 12
1 2 3 4 5
chain bonds :
3 - 14
      5-7
ring bonds :
1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 7-8 \quad 7-12 \quad 8-9 \quad 9-10 \quad 10-11 \quad 11-12
exact/norm bonds :
1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 3-14 \quad 4-5 \quad 5-6 \quad 5-7 \quad 7-8 \quad 7-12 \quad 8-9 \quad 9-10 \quad 10-11 \quad 11-12
isolated ring systems :
containing 1 : 7 :
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 14:CLASS 15:Atom 16:CLASS
Generic attributes :
15:
Saturation
                           : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System
                           : Monocyclic
Element Count :
Node 15: Limited
    C, C4-5
    N, N1-2
    0,00
    S,S0
```

L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS





Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam SAMPLE SEARCH INITIATED 20:24:23 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 1676 TO ITERATE

100.0% PROCESSED 1676 ITERATIONS 15 ANSWERS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 31065 TO 35975

PROJECTED ANSWERS: 68 TO 532

L2 15 SEA SSS SAM L1

=> => s 11 sss ful FULL SEARCH INITIATED 20:26:02 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 33196 TO ITERATE

100.0% PROCESSED 33196 ITERATIONS 287 ANSWERS SEARCH TIME: 00.00.02

L3 287 SEA SSS FUL L1

=> => s 13 L4 16 L3

=> d 14 1-16 bib, ab, hitstr

```
ANSWER 1 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
T. 4
      2007:1204408 CAPLUS
ΑN
      147:502387
DN
      Preparation of 2-(cyclic amino)pyrimidone derivatives as tau protein
ΤI
      kinase 1 (TPK1) inhibitors
ΙN
      Fukunaga, Kenji; Kohara, Toshiyuki; Watanabe, Kazutoshi; Usui, Yoshihiro;
      Uehara, Fumiaki; Yokoshima, Satoshi; Sakai, Daiki; Kusaka, Shin-Ichi;
      Nakayama, Kazuki
      Mitsubishi Pharma Corporation, Japan; Sanofi-Aventis
PA
SO
      PCT Int. Appl., 325pp.
      CODEN: PIXXD2
DT
      Patent
      English
LA
FAN.CNT 1
                                     DATE
                                                  APPLICATION NO.
      PATENT NO.
                             KIND
                                                                              DATE
                                                   _____
      WO 2007119463
                                     20071025
                                                  ∖WO 2007-JP55787
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               IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR
      KR 2008102430
                              Α
                                     20081125
                                                   KR 2008-724983
                                                                              20081013
      NO 2008004302
                              Α
                                     20081203
                                                   NO 2008-4302
                                                                              20081014
PRAI JP 2006-110242
                                     20060315
                              Α
      WO 2007-JP55787
                              W
                                     20070314
OS
      MARPAT 147:502387
AB
      The title compds. [I; R4 = cyano, A14-A13-A12; A14 = H, each
      (un) substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C5-7 cycloalkyl,
      C3-7 cycloalkenyl, C6-10 aryl, or heterocyclyl; A13 = a bond, O,
      (un) substituted NH; A12 = a bond, C1-3 alkylene, S, C(0), C(S), S(0)2; X =
      a bond, O, S, S(O), S(O)2, each (un)substituted CH2 or NH; R5 = H,
      (un) substituted C1-6 alkyl, (R7)s-A-(CH2)n; n = 0-3; s = 0-5; A = C6-10
      aryl or a heterocyclic group; R7 = halo, nitro, cyano, C4-C3-C2-C1-;
      wherein C4 = H, (un) substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, or
      C3-7 cycloalkyl, etc.; C3 = a bond, O, S, (un)substituted NH; C2 = a bond,
      C(0), C(S), S(0)2; C1 = a bond, C1-5 alkyl, O, S, (un) substituted NH; R6 = a bond
      halo, nitro, cyano, B14-B13-B12-B11-; wherein B14 = H, each
      (un) substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-7 cycloalkyl,
      C3-7 cycloalkenyl, C6-10 aryl, or heterocyclyl; B13 = a bond, O, S,
      (un) substituted NH; B12 = a bond, C(0), C(S), S(0)2; B11 = a bond, C1-3
      alkylene, O, S, (un) substituted NH; p = 0-6; Z = N, (un) substituted CH; R2
      = H, halo, (un)substituted C1-6 alkyl; R3 = H, each (un)substituted
      CO-C1-2 alkyl, C2-12 alkenyl, C2-12 alkynyl, C3-12 cycloalkyl, C3-12
      cycloalkenyl, C6-10 aryl, or heterocyclyl] or optically active isomers
```

thereof, or pharmaceutical acceptable salts thereof are prepared These compds. are used for the preventive and/or therapeutic treatment of a disease caused by tau protein kinase 1 hyperactivity such as neurodegenerative diseases and other diseases, in particular Alzheimer disease, ischemic cerebrovascular accidents, Down syndrome, cerebral bleeding due to cerebral amyloid angiopathy, progressive supranuclear palsy, subacute sclerosing panencephalitic parkinsonism, postencephalitic parkinsonism, pugilistic encephalitis, Guam parkinsonism-dementia complex, Lewy body disease, Pick's disease, corticobasal degeneration, frontotemporal dementia, vascular dementia, traumatic injuries, brain and spinal cord trauma, peripheral neuropathies, retinopathies, glaucoma, non-insulin dependent diabetes, obesity, manic depressive illness, schizophrenia, alopecia, breast cancer, non-small cell lung carcinoma, thyroid cancer, T or B-cell leukemia, and a virus-induced tumor. Thus, 332 mg 2-chloro-3-methyl-6-pyridin-4-yl-3H-pyrimidin-4-one was added to a solution of 313 mg 1,2,3,6,7,11b-hexahydropyrazino[2,1-a]isoquinolin-4-one and $0.223~\mathrm{mL}$ Et3N in 8 mL DMF and the mixture was stirred for 4 h and stood overnight to give 555 mg 2-(1-methyl-6-oxo-4-pyridin-4-yl-1,6dihydropyrimidin-2-yl)-1,2,3,6,7,11b-hexahydropyrazino[2,1-a]isoquinolin-4one (II). The compound (III) showed IC50 of 1.1 nM for inhibiting P-GS1 phosphorylation by bovine cerebral TPK1. A tablet and a soft capsule formulation containing II were described.

IT 954129-77-2P 954129-78-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-(cyclic amino)-pyrimidone derivs. as tpk1 inhibitors for treating or preventing neurodegenerative diseases and other diseases) 954129-77-2 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[(2R,4R)-2,4-dimethyl-1-piperidinyl]-1-methyl-, rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

RN

RN 954129-78-3 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[(2R,4R)-2,4-dimethyl-1-piperidinyl]-1-methyl-, rel-(+)- (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 2 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
T. 4
      2007:1116734 CAPLUS
ΑN
      147:427227
DN
      Method for the preparation of (R)-3-aminopiperidine dihydrochloride
ΤI
ΙN
      Wallace, Michael B.; Cody, Jeremy; Fornicola, Richard; Garcia-Rubio,
      Silvina; Kisanga, Philip B.; Reeve, Maxwell M.; Wilson, Chandra
PA
      Takeda Pharmaceutical Company Limited, Japan
SO
      PCT Int. Appl., 73pp.
      CODEN: PIXXD2
DT
      Patent
      English
LA
FAN.CNT 1
                                      DATE
      PATENT NO.
                             KIND
                                                   APPLICATION NO.
                                                    _____
      WO 2007112368
                                      20071004
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                                                                                20070326
PΙ
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               KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
          MN, MW, MX, MY, MZ, NA, NG, N1, NO, NZ, OM, PG, PH, PL, P1, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, F1, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, CH, GM, KE, LS, MW, MZ, NA, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AZ
               GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
               BY, KG, KZ, MD, RU, TJ, TM
                              A1 20081210
      EP 1999108
                                                   EP 2007-759409
                                                                               20070326
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               IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR,
               AL, BA, HR, MK, RS
PRAI US 2006-787058P
                             P
                                      20060328
      WO 2007-US64958
                              W
                                      20070326
OS
      CASREACT 147:427227; MARPAT 147:427227
AΒ
      The invention relates to a process for preparing chiral derivs. of
      3-aminopiperidine, including the production of such derivs. in quantities
      exceeding 1 kg. The method involves esterification of
      (R)-2,5-diaminopentanoic acid hydrochloride with methanol and acetyl
      chloride followed by cyclization with sodium methoxide in methanol, and a
      reaction with hydrochloric acid in Me tert-Bu ether to generate
      intermediate (R)-3-aminopiperidin-2-one hydrochloride, which undergoes
      reduction with lithium aluminum hydride in THF at a temperature at least about
      35^{\circ}C to produce (R)-3-aminopiperidine, which is exposed to
      hydrochloride acid to yield (R)-3-aminopiperidine dihydrochloride (I) with
      a chiral purity of at least 99%. The process minimizes the need for
      chiral purification techniques with higher enantiomerically pure I and may
      apply to a large scale prodn from readily available reagents. I can be
      used directly in the synthesis of various Dipeptidyl Peptidase IV (DPP-IV)
      inhibitors without the need to further purify. The invention disclosed the reaction of I with the pyrimidine derivs. II [Q = CO, SO, SO2] or
      C=NR4, wherein R4 = H, (un) substituted (hetero) (cyclo) alkyl, (hetero) aryl,
      (hetero)arylalkyl, (hetero)bicycloaryl; R2 and R3 independently = H, NH2,
      CN, NO2, S, halo, (un) substituted haloalkyl, (hetero) (cyclo) alkyl, etc.; L
      = linker group; X = CN, OH, (un)substituted (hetero)(cyclo)alkyl,
      (hetero)arylalkyl, (hetero)bicycloaryl, (hetero)aryl, etc.; Z = leaving
      group] and III [MO = -C-LX, N \text{ or } CR6, \text{ wherein } R6 = H, \text{ halo, perhaloalkyl,}
      etc.; Q1 and Q2 independently = C0, CS, S0, S02, and C=NR4; R0 = H, CN,
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NH2, -LX, with the proviso that only one of R0 and M0 is -LX, (un)substituted (hetero)(cyclo)alkyl, etc.; R5 = H, (hetero)(cyclo)alkyl, (hetero)arylalkyl, etc.; R4, L and X are defined as in II] to synthesize IV and V, which are potential inhibitors of DPP-IV.

IT 844842-93-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of chiral pyrimidine compds. with potential DPP-IV inhibitory activity using intermediate chiral aminopiperidine dihydrochloride)

RN 844842-93-9 CAPLUS

CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-oxo-5-(1H-pyrrol-3-yl)-1(6H)-pyrimidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 3 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
L4
     2006:317348 CAPLUS
ΑN
     144:370114
DN
     Preparation of pyrimidone derivatives as inhibitors of tau protein kinase
ΤI
     1 for treatment of neurodegenerative diseases
IN
     Watanabe, Kazutoshi; Fukunaga, Kenji; Kohara, Toshiyuki; Uehara, Fumiaki;
     Hiki, Shinsuke; Yokoshima, Satoshi
     Mitsubishi Pharma Corporation, Japan; Sanofi-Aventis
PA
SO
     PCT Int. Appl., 232 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                                   DATE...
     PATENT NO.
                           KIND
                                                 APPLICATION NO.
                                                                           DATE
                                                _____
                           ____
                                                                          _____
                                   20060406
                                                 WO 2005-JP18497
     WO 2006036015
                            A2
                                                                           20050929
PΙ
     WO 2006036015
                            А3
                                   20060601
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          RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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                                                IN 2007-CN1835
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PRAI JP 2004-313115
                            Α
                                   20040929
     WO 2005-JP18497 W
                                   20050929
     CASREACT 144:370114; MARPAT 144:370114
OS
     The title compds. I [wherein R1 = (un)substituted alkyl; R2 = H, halo, or
AΒ
     (un) substituted alkyl; R3 and R4 = independently OH, halo, NO2, CN, etc.;
     R5 = H, (un)substituted aryl, or heteroaryl; X = O, NH, or the like; p = H
     0-7; q = 1-4] or optically active isomers, or pharmaceutically acceptable
     salts thereof were prepared as inhibitors of tau protein kinase 1 (TPK1) for
     the treatment of neurodegenerative diseases (e.g. Alzheimer disease). For
     example, the compound II was prepared in a multi-step synthesis. II inhibited
     TPK1 with IC50 of 0.27 nM. Formulations containing I as an active ingredient
     were also described.
     881916-81-0P 881916-82-1P 881916-84-3P
ΙT
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881916-87-6P 881916-99-0P 881917-31-3P 881917-32-4P 881917-33-5P 881917-34-6P

881917-35-7P 881917-36-8P 881917-43-7P 881917-49-3P 881917-51-7P 881917-52-8P 881917-53-9P 881917-54-0P 881917-55-1P 881917-56-2P 881917-57-3P 881917-59-5P 881917-60-8P 881917-69-7P 881917-70-0P 881917-80-2P 881917-81-3P 881917-82-4P 881918-75-8P 881918-76-9P 881919-02-4P 881919-15-9P 881919-16-0P 881919-17-1P 881919-18-2P 881919-19-3P 881919-20-6P 881919-21-7P 881919-22-8P 881919-25-1P 881919-53-5P 881919-54-6P 881919-55-7P 881919-56-8P 881919-58-0P 881919-60-4P 881919-62-6P 881922-10-7P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of pyrimidone derivs. as inhibitors of tau protein kinase 1 for treatment of neurodegenerative diseases) 881916-81-0 CAPLUS 4(3H)-Pyrimidinone, 2-[4-(4-bromophenyl)-1-piperidinyl]-6-(3-fluoro-4pyridinyl)-3-methyl- (CA INDEX NAME)

RN

CN

RN 881916-82-1 CAPLUS
CN 4(3H)-Pyrimidinone, 2-[4-(3-bromophenyl)-1-piperidinyl]-6-(3-fluoro-4-pyridinyl)-3-methyl- (CA INDEX NAME)

RN 881916-84-3 CAPLUS
CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-3-methyl-2-[4-[4-(1-pyrrolidinyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 881916-87-6 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-3-methyl-2-[4-[3-(1-pyrrolidinyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 881916-99-0 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(4-fluorophenyl)-1-piperidinyl]-6-(3-fluoro-4-pyridinyl)-3-methyl- (CA INDEX NAME)

RN 881917-31-3 CAPLUS

CN 4(3H) -Pyrimidinone, 2-[4-(6-fluoro-1H-indol-3-yl)-1-piperidinyl]-6-(3-yl)

fluoro-4-pyridinyl)-3-methyl- (CA INDEX NAME)

RN 881917-32-4 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-3-methyl-2-[4-[[3-(trifluoromethyl)phenyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN 881917-33-5 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-2-[4-[(2-methoxyphenyl)amino]-1-piperidinyl]-3-methyl- (CA INDEX NAME)

RN 881917-34-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[[4-(dimethylamino)phenyl]amino]-1-piperidinyl]-6-(3-fluoro-4-pyridinyl)-3-methyl- (CA INDEX NAME)

RN 881917-35-7 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-2-[4-[(3-methoxyphenyl)amino]-1-piperidinyl]-3-methyl- (CA INDEX NAME)

RN 881917-36-8 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-2-[4-[(4-methoxyphenyl)amino]-1-piperidinyl]-3-methyl- (CA INDEX NAME)

RN 881917-43-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(4-bromophenyl)-1-piperidinyl]-6-(3-fluoro-4-pyridinyl)-3-methyl- (CA INDEX NAME)

RN 881917-49-3 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-3-methyl-2-(4-oxo-1-piperidinyl)- (CA INDEX NAME)

RN 881917-51-7 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-2-[3-[4-[4-(2-hydroxyethyl)-1-piperazinyl]phenyl]-1-piperidinyl]-3-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{N} \\ \text{N} & \text{N} \\ \end{array}$$

RN 881917-52-8 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[4-(dimethylamino)phenyl]-1-piperidinyl]-6-(3-fluoro-4-pyridinyl)-3-methyl- (CA INDEX NAME)

RN 881917-53-9 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-3-methyl-2-[3-[4-(4-morpholinyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 881917-54-0 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-3-methyl-2-[3-[4-(1-piperidinyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 881917-55-1 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-3-methyl-2-[3-[4-(4-methyl-1-piperazinyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 881917-56-2 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[4-[4-(dimethylamino)-1-piperidinyl]phenyl]-1-piperidinyl]-6-(3-fluoro-4-pyridinyl)-3-methyl- (CA INDEX NAME)

RN 881917-57-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[1-[4-(3-fluoro-4-pyridiny1)-1,6-dihydro-1-methyl-6-oxo-2-pyrimidiny1]-3-piperidinyl]phenyl]-, phenylmethyl ester (CA INDEX NAME)

RN 881917-59-5 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-2-[4-[4-(2-hydroxyethyl)-1-piperazinyl]-1-piperidinyl]-3-methyl- (CA INDEX NAME)

RN 881917-60-8 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-3-methyl-2-[3-[4-(1-piperazinyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 881917-69-7 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-3-methyl-2-[4-(3-methyl-1-phenyl-1H-pyrazol-5-yl)-1-piperidinyl]- (CA INDEX NAME)

RN 881917-70-0 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-3-methyl-2-[4-(4-phenyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl]- (CA INDEX NAME)

RN 881917-80-2 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[4-(cyclohexylamino)phenyl]-1-piperidinyl]-6-(3-fluoro-4-pyridinyl)-3-methyl- (CA INDEX NAME)

RN 881917-81-3 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[4-(2,3-dihydro-1H-indol-1-yl)phenyl]-1-piperidinyl]-6-(3-fluoro-4-pyridinyl)-3-methyl- (CA INDEX NAME)

RN 881917-82-4 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[4-(cyclohexylmethylamino)phenyl]-1-piperidinyl]-6-(3-fluoro-4-pyridinyl)-3-methyl- (CA INDEX NAME)

RN 881918-75-8 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-3-methyl-2-[3-[4-(1-pyrrolidinyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 881918-76-9 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-2-[3-(4'-methoxy[1,1'-biphenyl]-4-yl)-1-piperidinyl]-3-methyl- (CA INDEX NAME)

RN 881919-02-4 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-3-methyl-2-[(3R)-3-[4-(1-piperidinyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 881919-15-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[(3S)-3-(4-aminophenyl)-1-piperidinyl]-6-(3-fluoro-4-pyridinyl)-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 881919-16-0 CAPLUS

CN Acetamide, N-[4-[(3S)-1-[4-(3-fluoro-4-pyridinyl)-1,6-dihydro-1-methyl-6-oxo-2-pyrimidinyl]-3-piperidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 881919-17-1 CAPLUS

CN Thiourea, N-[4-[(3S)-1-[4-(3-fluoro-4-pyridinyl)-1,6-dihydro-1-methyl-6-oxo-2-pyrimidinyl]-3-piperidinyl]phenyl]-N'-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 881919-18-2 CAPLUS

CN Urea, N-(2-fluorophenyl)-N'-[4-[(3S)-1-[4-(3-fluoro-4-pyridinyl)-1,6-dihydro-1-methyl-6-oxo-2-pyrimidinyl]-3-piperidinyl]phenyl]- (CA INDEX NAME)

RN 881919-19-3 CAPLUS

CN Carbamic acid, [4-[(3S)-1-[4-(3-fluoro-4-pyridinyl)-1,6-dihydro-1-methyl-6-oxo-2-pyrimidinyl]-3-piperidinyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 881919-20-6 CAPLUS

CN Methanesulfonamide, N-[4-[(3S)-1-[4-(3-fluoro-4-pyridinyl)-1,6-dihydro-1-methyl-6-oxo-2-pyrimidinyl]-3-piperidinyl]phenyl]- (CA INDEX NAME)

RN 881919-21-7 CAPLUS

CN Cyclohexanecarboxamide, N-[4-[(3S)-1-[4-(3-fluoro-4-pyridinyl)-1,6-dihydro-1-methyl-6-oxo-2-pyrimidinyl]-3-piperidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 881919-22-8 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-3-methyl-2-[(3S)-3-[4-(4-methyl-1-piperazinyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 881919-25-1 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-3-methyl-2-[(3R)-3-phenyl-1-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 881919-53-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[3-(4-fluorophenyl)-1,2,4-oxadiazol-5-yl]-1-piperidinyl]-6-(3-fluoro-4-pyridinyl)-3-methyl- (CA INDEX NAME)

RN 881919-54-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[3-(2-bromophenyl)-1,2,4-oxadiazol-5-yl]-1-piperidinyl]-6-(3-fluoro-4-pyridinyl)-3-methyl- (CA INDEX NAME)

RN 881919-55-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[3-(3-bromophenyl)-1,2,4-oxadiazol-5-yl]-1-piperidinyl]-6-(3-fluoro-4-pyridinyl)-3-methyl- (CA INDEX NAME)

RN 881919-56-8 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[3-(4-bromophenyl)-1,2,4-oxadiazol-5-yl]-1-piperidinyl]-6-(3-fluoro-4-pyridinyl)-3-methyl- (CA INDEX NAME)

RN 881919-58-0 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-2-[3-[3-(2-methoxyphenyl)-1,2,4-oxadiazol-5-yl]-1-piperidinyl]-3-methyl- (CA INDEX NAME)

RN 881919-60-4 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-2-[3-[3-(3-methoxyphenyl)-1,2,4-oxadiazol-5-yl]-1-piperidinyl]-3-methyl- (CA INDEX NAME)

RN 881919-62-6 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-2-[3-[3-(4-methoxyphenyl)-1,2,4-oxadiazol-5-yl]-1-piperidinyl]-3-methyl- (CA INDEX NAME)

RN 881922-10-7 CAPLUS

CN 4(3H)-Pyrimidinone, 6-(3-fluoro-4-pyridinyl)-3-methyl-2-[(3S)-3-[4-(1-piperidinyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 4 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
T.4
     2005:135412 CAPLUS
ΑN
     142:240442
DN
     Preparation of pyrimidinones as dipeptidyl peptidase IV (DPP-IV)
ΤI
     inhibitors
ΙN
     Feng, Jun; Gwaltney, Stephen L., II; Kaldor, Stephen W.; Stafford, Jeffrey
     A.; Wallace, Michael B.; Zhang, Zhiyuan
     Syrrx, Inc., USA
PA
     Eur. Pat. Appl., 102 pp.
SO
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OS
     Title compds. [I; Q = CO, SO, SO2, C:NR4; Z = halo, perhaloalkyl, amino,
AB
     cyano, (substituted) alkyl, cycloalkyl, aryl, heteroaryl, alkylcarbonyl,
     etc.; R2, R3 = H, halo, perhaloalkyl, amino, cyano, NO2, SH, (substituted)
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alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aralkyl, heteroarylalkyl, aryl, heteroaryl, etc.; R4 = H, (substituted) alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, bicycloaryl, heterobicycloaryl; L = 0-6 atom linker; X = OH, (substituted) alkyl, cycloalkyl, heterocycloalkyl, aralkyl, heteroaralkyl, bicycloaryl, heterobicycloaryl, alkylcarbonyl, alkylthiocarbonyl, alkylsulfinyl, aryl, heteroaryl, alkoxy, aryloxy, heteroaryloxy, alkenyl, alkynyl, etc.], were prepared Thus, 2-(5-bromo-2-chloro-6-oxo-6H-pyrimidin-1-ylmethyl) benzonitrile (preparation given), (R)-3-aminopiperidine dihydrochloride, and NaHCO3 were stirred together for 90 min. in EtOH to give 62% 2-[2-(3-aminopiperidin-1-yl)-5-bromo-6-oxo-6H-pyrimidin-1-ylmethyl] benzonitrile. I inhibited DPP-IV with Ki = 10-9 M to 10-5 M. 844842-93-9P

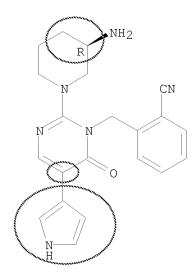
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of pyrimidinones as dipeptidyl peptidase IV inhibitors)

RN 844842-93-9 CAPLUS

ΙT

CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidiny1]-6-oxo-5-(1H-pyrrol-3-yl)-1(6H)-pyrimidiny1]methy1]- (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

T.4

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ANSWER 5 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
      2004:817871 CAPLUS
ΑN
      141:332207
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      Preparation of 2,3,6-trisubstituted-4-pyrimidones as tau protein kinase 1
ΤI
      inhibitors
IN
      Watanabe, Kazutoshi; Uehara, Fumiaki; Hiki, Shinsuke; Yokoshima, Satoshi;
    Usui, Yoshihiro: Okuyama, Masahiro; Shoda, Aya; Aritomo, Keiichi; Kohara,
      Toshiyuki; Fukunaga, Kenji
      Mitsubishi Pharma Corporation, Japan; Sanofi-Synthelabo
PA
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      PCT Int. Appl., 433 pp.
      CODEN: PIXXD2
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      PATENT NO.
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      The title compds. I [Q = CH, N; R = (un)substituted alkyl; A represents
AB
      piperazine ring or piperidine ring; each X = alkyl, optionally partially
      hydrogenated aryl ring, indan ring or the like; m = 1-3; each Y = halo,
      OH, CN, alkyl or the like; n = 0-8; when X and Y or two Y groups are
      attached to the same carbon atom, they may combine to each other to form a
      C2-C6 alkylene group; and their salts] having tau protein kinase 1
      inhibitory and therefore useful for preventive and/or therapeutic
      treatment of diseases such as neurodegenerative diseases (e.g., Alzheimer
      disease), were prepared and formulated. E.g., a multi-step synthesis of
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II.2HCl (starting from 2-bromo-5-fluoroanisole), was given. The biol. data (IC50 values against P-GS1 phosphorylation by bovine cerebral TPK1)

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were given for representative compds. I.
     769942-18-9P 769942-19-0P 769942-20-3P
ΙT
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     (Uses)
        (preparation of 2,3,6-trisubstituted-4-pyrimidones as tau protein kinase 1
        inhibitors for treatment and/or prevention of neurodegenerative
        diseases)
RN
     769942-18-9 CAPLUS
     4(3H) -Pyrimidinone, 3-methyl-2-[3-(phenylmethyl)-1-piperidinyl]-6-(4-
CN
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pyridinyl) - (CA INDEX NAME)

RN 769942-19-0 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-(3-phenyl-1-piperidinyl)-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-20-3 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(2-fluorophenyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-21-4 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(4-fluorophenyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-22-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(2-methoxyphenyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-23-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(4-methoxyphenyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-24-7 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-6-(4-pyridinyl)-2-[3-[4-(1-pyrrolidinylmethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 769942-25-8 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-6-(4-pyridinyl)-2-[3-[4-(1-pyrrolidinylmethyl)phenyl]-1-piperidinyl]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 769942-24-7 CMF C26 H31 N5 O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 769942-26-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(1,2-benzisoxazol-3-yl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-27-0 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-28-1 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[(3R)-3-(1,2-benzisoxazol-3-yl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 769942-29-2 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[(3S)-3-(1,2-benzisoxazol-3-yl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 769942-30-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(3-hydroxy-3-phenyl-1-piperidinyl)-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-31-6 CAPLUS

CN 3-Piperidinecarbonitrile, 1-[1,6-dihydro-1-methyl-6-oxo-4-(4-pyridinyl)-2-pyrimidinyl]-3-phenyl- (CA INDEX NAME)

RN 769942-32-7 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[4-(phenylmethyl)-1-piperidinyl]-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-33-8 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[(4-fluorophenyl)hydroxymethyl]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-34-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[(4-fluorophenyl)methoxymethyl]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-35-0 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-(4-phenyl-1-piperidinyl)-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-36-1 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(4-fluorophenyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-37-2 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(3,4-dichlorophenyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-38-3 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(2-methoxyphenyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-39-4 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-40-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-41-8 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(6-fluoro-1H-indazol-3-yl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-42-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(2-benzoxazolyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-44-1 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(2-benzothiazolyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-46-3 CAPLUS

CN 2(3H)-Benzothiazolone, 3-[1-[1,6-dihydro-1-methyl-6-oxo-4-(4-pyridinyl)-2-pyrimidinyl]-4-piperidinyl]- (CA INDEX NAME)

RN 769942-47-4 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[(4-fluorophenyl)amino]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-48-5 CAPLUS

CN 4(3H) -Pyrimidinone, 3-methyl-2-[4-(methylphenylamino)-1-piperidinyl]-6-(4-

pyridinyl) - (CA INDEX NAME)

RN 769942-49-6 CAPLUS

CN Acetamide, N-[1-[1,6-dihydro-1-methyl-6-oxo-4-(4-pyridinyl)-2-pyrimidinyl]-4-piperidinyl]-N-(4-fluorophenyl)- (CA INDEX NAME)

RN 769942-50-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-hydroxy-4-phenyl-1-piperidinyl)-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-51-0 CAPLUS

CN 4-Piperidinecarbonitrile, 1-[1,6-dihydro-1-methyl-6-oxo-4-(4-pyridinyl)-2-pyrimidinyl]-4-(4-fluorophenyl)- (CA INDEX NAME)

RN 769942-52-1 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-acetyl-4-phenyl-1-piperidinyl)-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-53-2 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-6-(4-pyridinyl)-2-[(3R)-3-[4-(1-pyrrolidinylmethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 769942-54-3 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-6-(4-pyridinyl)-2-[(3S)-3-[4-(1-pyrrolidinylmethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 769942-55-4 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-6-(4-pyridinyl)-2-[(3R)-3-[4-(1-pyrrolidinylmethyl)phenyl]-1-piperidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 769942-56-5 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-6-(4-pyridinyl)-2-[3-[4-(1-pyrrolidinylcarbonyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 769942-57-6 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-6-(4-pyridinyl)-2-[(3S)-3-[4-(1-pyrrolidinylmethyl)phenyl]-1-piperidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 769942-58-7 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[3-[4-(1-piperidinylmethyl)phenyl]-1-piperidinyl]-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-59-8 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[4-[(cyclohexylmethylamino)methyl]]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-60-1 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[4-[[(3R)-3-(dimethylamino)-1-pyrrolidinyl]methyl]phenyl]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)-, hydrochloride (1:3) (CA INDEX NAME)

●3 HC1

RN 769942-61-2 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[4-[(cyclohexylethylamino)methyl]phenyl]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-62-3 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[4-[[cyclohexyl(1-methylethyl)amino]methyl]phenyl]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)-(CA INDEX NAME)

RN 769942-63-4 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[4-[[(3S)-3-(dimethylamino)-1-pyrrolidinyl]methyl]phenyl]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)-, hydrochloride (1:3) (CA INDEX NAME)

●3 HC1

RN 769942-64-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(4-bromophenyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-65-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(3-bromophenyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-66-7 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-6-(4-pyridinyl)-2-[4-[4-(1-pyrrolidinyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 769942-67-8 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-6-(4-pyridinyl)-2-[4-[3-(1-pyrrolidinyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 769942-68-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(6-fluoro-1H-indol-3-yl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-69-0 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-6-(4-pyridinyl)-2-[4-[[3-(trifluoromethyl)phenyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN 769942-70-3 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[[4-(dimethylamino)phenyl]amino]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-71-4 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[(3-methoxyphenyl)amino]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-72-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[(4-methoxyphenyl)amino]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-73-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[(2-methoxyphenyl)amino]-1-piperidinyl]-3-methyl-<math>6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-74-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[(3-methoxyphenyl)methylamino]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-75-8 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[(4-methoxyphenyl)methylamino]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-76-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[(2-methoxyphenyl)methylamino]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-77-0 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[[4-(dimethylamino)phenyl]methylamino]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-78-1 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(4-bromophenyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-79-2 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-(4-oxo-1-piperidinyl)-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-80-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[4-[4-(2-hydroxyethyl)-1-piperazinyl]phenyl]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-81-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[4-(dimethylamino)phenyl]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-82-7 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[3-[4-(4-morpholinyl)phenyl]-1-piperidinyl]-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-83-8 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[3-[4-(1-piperidinyl)phenyl]-1-piperidinyl]-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-84-9 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[3-[4-(4-methyl-1-piperazinyl)phenyl]-1-piperidinyl]-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-85-0 CAPLUS

CN 4(3H) -Pyrimidinone, 2-[3-[4-[4-(dimethylamino)-1-piperidinyl]phenyl]-1-

piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-86-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[1-[1,6-dihydro-1-methyl-6-oxo-4-(4-pyridinyl)-2-pyrimidinyl]-3-piperidinyl]phenyl]-, phenylmethyl ester (CA INDEX NAME)

$$\mathsf{Ph}\mathsf{-CH}_2\mathsf{-O}\mathsf{-C}$$

RN 769942-87-2 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[4-(4-methyl-1-piperazinyl)-1-piperidinyl]-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-88-3 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[4-(2-hydroxyethyl)-1-piperazinyl]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-89-4 CAPLUS

CN 4(3H) -Pyrimidinone, 3-methyl-2-[3-[4-(1-piperazinyl)phenyl]-1-piperidinyl]-

6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-90-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[[(2-methoxyphenyl)methyl]amino]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-91-8 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[[(4-methoxyphenyl)methyl]amino]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-92-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[[2-(2-methoxyphenyl)ethyl]amino]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-93-0 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[[2-(4-methoxyphenyl)ethyl]amino]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \text{Me} \\ \text{N} & \text{NH}-\text{CH}_2-\text{CH}_2 \end{array}$$

RN 769942-94-1 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[[[4-(dimethylamino)phenyl]methyl]amino]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-95-2 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[4-(3-methyl-1-phenyl-1H-pyrazol-5-yl)-1-piperidinyl]-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-96-3 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[4-(4-phenyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl]-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-97-4 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[4-(2,3-dihydro-1H-indol-1-yl)phenyl]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-98-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[4-(cyclohexylamino)phenyl]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769942-99-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[4-(cyclohexylmethylamino)phenyl]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769943-97-7 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 1-methyl-2-[3-(phenoxymethyl)-1-piperidinyl]- (CA INDEX NAME)

RN 769943-98-8 CAPLUS

CN [4,4'-Bipyrimidin]-6-one, 2-[3-[(benzoyloxy)methyl]-1-piperidinyl]-1,6-dihydro-1-methyl- (CA INDEX NAME)

RN 769943-99-9 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-(1,2-benzisoxazol-3-yl)-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 769944-00-5 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 769944-01-6 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[(3R)-3-(1,2-benzisoxazol-3-yl)-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 769944-02-7 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[(3S)-3-(1,2-benzisoxazol-3-yl)-1-piperidinyl]-1-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 769944-03-8 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[4-(4-fluorophenyl)-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 769944-04-9 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 769944-05-0 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 1-methyl-2-[4-(5-methyl-3-benzofuranyl)-1-piperidinyl]- (CA INDEX NAME)

RN 769944-06-1 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 769944-07-2 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 769944-08-3 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[4-(2-benzoxazoly1)-1-piperidiny1]-1-methyl- (CA INDEX NAME)

RN 769944-09-4 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 1-methyl-2-(4-phenyl-1-piperidinyl)- (CA)

INDEX NAME)

RN 769944-10-7 CAPLUS
CN [4,4'-Bipyrimidin]-6(1H)-one, 1-methyl-2-[3-[4-(1-pyrrolidinylmethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 769944-11-8 CAPLUS
CN [4,4'-Bipyrimidin]-6(1H)-one, 1-methyl-2-[3-[4-(1-pyrrolidinylcarbonyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 769944-12-9 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[1,4'-bipiperidin]-1'-yl-1-methyl- (CA INDEX NAME)

RN 769944-13-0 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[4-(4-bromophenyl)-1-piperidinyl]-1-methyl-(CA INDEX NAME)

RN 769944-14-1 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[4-(3-bromophenyl)-1-piperidinyl]-1-methyl-(CA INDEX NAME)

RN 769944-15-2 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 1-methyl-2-[4-[4-(1-pyrrolidinyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 769944-16-3 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 1-methyl-2-[4-[3-(1-pyrrolidinyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 769944-17-4 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[4-[[4-(dimethylamino)phenyl]amino]-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 769944-18-5 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[4-[(3-methoxyphenyl)amino]-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 769944-19-6 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[4-[(4-methoxyphenyl)amino]-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 769944-20-9 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[4-[(2-methoxyphenyl)amino]-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 769944-21-0 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-(4-bromophenyl)-1-piperidinyl]-1-methyl-(CA INDEX NAME)

RN 769944-22-1 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-[4-[4-(2-hydroxyethyl)-1-piperazinyl]phenyl]-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 769944-23-2 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-[4-(dimethylamino)phenyl]-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 769944-24-3 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 1-methyl-2-[3-[4-(4-morpholinyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 769944-25-4 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 1-methyl-2-[3-[4-(1-piperidinyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 769944-26-5 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 1-methyl-2-[3-[4-(4-methyl-1-piperazinyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 769944-27-6 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-[4-[4-(dimethylamino)-1-piperidinyl]phenyl]-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 769944-28-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[1-(1,6-dihydro-1-methyl-6-oxo[4,4'-bipyrimidin]-2-yl)-3-piperidinyl]phenyl]-, phenylmethyl ester (CA INDEX NAME)

RN 769944-29-8 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 1-methyl-2-[4-(4-methyl-1-piperazinyl)-1-piperidinyl]- (CA INDEX NAME)

RN 769944-30-1 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[4-[4-(2-hydroxyethyl)-1-piperazinyl]-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 769944-31-2 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 1-methyl-2-[3-[4-(1-piperazinyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)

RN 769944-32-3 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-[4-(cyclohexylamino)phenyl]-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 769944-33-4 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-[4-(2,3-dihydro-1H-indol-1-yl)phenyl]-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 769944-34-5 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-[4-(cyclohexylmethylamino)phenyl]-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 769945-17-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(3-fluorophenyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769945-18-8 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(4-chlorophenyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769945-19-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(3-methoxyphenyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 769945-34-8 CAPLUS

CN 4-Piperidinecarbonitrile, 1-(1,6-dihydro-1-methyl-6-oxo[4,4'-bipyrimidin]-2-yl)-4-phenyl- (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 6 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
T.4
         2004:534195 CAPLUS
ΑN
        141:71559
DN
         Preparation of pyridinyl-4-pyrimidone derivatives as tau protein kinase 1
ΤI
         inhibitors for the treatment of neurodegenerative diseases
IN
         Usui, Yoshihiro; Okuyama, Masahiro; Hanano, Tokushi
PA
         Mitsubishi Pharma Corporation, Japan; Sanofi-Synthelabo
         PCT Int. Appl., 55 pp.
SO
         CODEN: PIXXD2
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         Patent
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OS
         Pyrimidone derivs. of formula I [S = CH, N; R1 = alkyl; R2 = alkyl,
AΒ
         (substituted) Ph, (substituted) naphthalenyl, (substituted) indanyl
         (substituted) tetrahydronaphthalenyl, (substituted) heterocyclyl] are
         prepared as tau protein kinase 1 inhibitors. Thus, II was prepared in several
         steps. Pharmaceutical compns. containing II are described.
ΙT
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712344-06-4P 712344-07-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridinyl pyrimidone derivs. as tau protein kinase 1 inhibitors)

RN 712343-67-4 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(2-chlorophenyl)-5,6-dihydro-1(2H)-pyridinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 712343-68-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(2,6-dimethoxyphenyl)-5,6-dihydro-1(2H)-pyridinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 712343-69-6 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-(2-chlorophenyl)-5,6-dihydro-1(2H)-pyridinyl]-1-methyl- (CA INDEX NAME)

RN 712343-70-9 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-(2,6-dimethoxyphenyl)-5,6-dihydro-1(2H)-pyridinyl]-1-methyl- (CA INDEX NAME)

RN 712343-71-0 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(3,6-dihydro-5-phenyl-1(2H)-pyridinyl)-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 712343-72-1 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(2-fluorophenyl)-5,6-dihydro-1(2H)-pyridinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 712343-73-2 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(4-fluorophenyl)-5,6-dihydro-1(2H)-pyridinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 712343-74-3 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(2-bromophenyl)-5,6-dihydro-1(2H)-pyridinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 712343-75-4 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(2,4-difluorophenyl)-5,6-dihydro-1(2H)-pyridinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 712343-76-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(2,4-dichlorophenyl)-5,6-dihydro-1(2H)-pyridinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 712343-77-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3,6-dihydro-5-(2-methoxyphenyl)-1(2H)-pyridinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 712343-78-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3,6-dihydro-5-(4-methoxyphenyl)-1(2H)-pyridinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 712343-79-8 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3,6-dihydro-5-[2-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 712343-80-1 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(3-[1,1'-biphenyl]-2-yl-5,6-dihydro-1(2H)-pyridinyl)-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 712343-81-2 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3,6-dihydro-5-(3-thienyl)-1(2H)-pyridinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 712343-82-3 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3,6-dihydro-5-(2-thienyl)-1(2H)-pyridinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 712343-83-4 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(1,2-benzisoxazol-3-yl)-5,6-dihydro-1(2H)-pyridinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 712343-84-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3,6-dihydro-5-(phenylmethyl)-1(2H)-pyridinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 712343-85-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[(4-fluorophenoxy)methyl]-5,6-dihydro-1(2H)-pyridinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

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RN 712343-86-7 CAPLUS

CN Pyrrolidine, 1-[4-[1-[1,6-dihydro-1-methyl-6-oxo-4-(4-pyridinyl)-2-

pyrimidinyl]-1,2,5,6-tetrahydro-3-pyridinyl]benzoyl]- (9CI) (CA INDEX NAME)

RN 712343-87-8 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-(3,6-dihydro-5-phenyl-1(2H)-pyridinyl)-1-methyl- (CA INDEX NAME)

RN 712343-88-9 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-(2-fluorophenyl)-5,6-dihydro-1(2H)-pyridinyl]-1-methyl- (CA INDEX NAME)

RN 712343-89-0 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-(4-fluorophenyl)-5,6-dihydro-1(2H)-pyridinyl]-1-methyl- (CA INDEX NAME)

RN 712343-90-3 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-(2-bromophenyl)-5,6-dihydro-1(2H)-pyridinyl]-1-methyl- (CA INDEX NAME)

RN 712343-91-4 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-(2,4-difluorophenyl)-5,6-dihydro-1(2H)-pyridinyl]-1-methyl- (CA INDEX NAME)

RN 712343-92-5 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-(2,4-dichlorophenyl)-5,6-dihydro-1(2H)-pyridinyl]-1-methyl- (CA INDEX NAME)

RN 712343-93-6 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3,6-dihydro-5-(4-methoxyphenyl)-1(2H)-pyridinyl]-1-methyl- (CA INDEX NAME)

RN 712343-94-7 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3,6-dihydro-5-[2-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-1-methyl- (CA INDEX NAME)

RN 712343-95-8 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-(3-[1,1'-biphenyl]-2-yl-5,6-dihydro-1(2H)-pyridinyl)-1-methyl- (CA INDEX NAME)

RN 712343-96-9 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3,6-dihydro-5-(3-thienyl)-1(2H)-pyridinyl]-1-methyl- (CA INDEX NAME)

RN 712343-97-0 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3,6-dihydro-5-(2-thienyl)-1(2H)-pyridinyl]-1-methyl- (CA INDEX NAME)

RN 712343-98-1 CAPLUS

[4,4'-Bipyrimidin]-6(1H)-one, 2-[3-(1,2-benzisoxazol-3-yl)-5,6-dihydro-CN 1(2H)-pyridinyl]-1-methyl- (CA INDEX NAME)

712343-99-2 CAPLUS
Pyrrolidine, 1-[4-[1-(1,6-dihydro-1-methyl-6-oxo[4,4'-bipyrimidin]-2-yl)-CN 1,2,5,6-tetrahydro-3-pyridinyl]benzoyl]- (9CI) (CA INDEX NAME)

712344-03-1 CAPLUS RN

4(3H)-Pyrimidinone, 2-[3-(4-chlorophenyl)-5,6-dihydro-1(2H)-pyridinyl]-3-CN methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 712344-04-2 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3,6-dihydro-5-(2-methoxyphenyl)-1(2H)-pyridinyl]-1-methyl- (CA INDEX NAME)

RN 712344-05-3 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-(4-chlorophenyl)-5,6-dihydro-1(2H)-pyridinyl]-1-methyl- (CA INDEX NAME)

RN 712344-06-4 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3,6-dihydro-5-(phenylmethyl)-1(2H)-pyridinyl]-1-methyl- (CA INDEX NAME)

RN 712344-07-5 CAPLUS

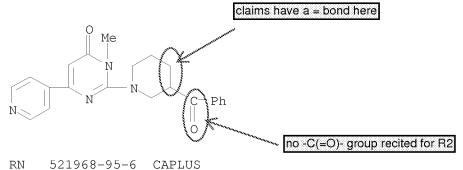
CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-[(4-fluorophenoxy)methyl]-5,6-dihydro-1(2H)-pyridinyl]-1-methyl- (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 7 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
L4
     2003:356440 CAPLUS
ΑN
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     Preparation of 3-substituted 4-pyrimidones as tau protein kinase 1
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     Uehara, Fumiaki, Aritomo, Keiichi; Shoda, Aya; Hiki, Shinsuke; Okuyama,
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     Mitsubishi Pharma Corporation, Japan; Sanofi-Synthelabo
PA
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WO 2002-JP9685 W 20020920 OS MARPAT 138:368904 The title compds. [I; R1 = (un)substituted alkyl; R = NHCR2R3COR4, II-IV AB (wherein R2, R3 = H, alkyl; R4 = (un) substituted Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, cycloalkyl, Ph, etc.; R6 = H, (un) substituted alkyl, Ph; or R5 and R6 may bind to each other to form spiro carbocylic ring having 3-11 ring-constituting atoms in total; R7, R8 = H, alkyl; or R7 and R8 may combine to each other to form alkylene; R9 and R10 = (un)substituted alkyl, cycloalkyl, Ph, etc.; X = CH2, O, NR13; R13 = H, alkyl)], useful for preventive or therapeutic treatment of a disease caused by tau protein kinase 1 hyperactivity (e.g. Alzheimer's disease), were prepared and formulated. Thus, reacting 2-amino-1-phenylethanone.HCl with 2-chloro-3-methyl-6-(4pyridyl)pyrimidine-4-one (preparation given) in the presence of DMAP and Et3N in DMSO afforded 68% V which showed IC50 of 8.9 nM against P-GS1 phosphorylation by bovine cerebral TPK1. ΙT 521968-94-5P 521968-95-6P 521968-96-7P 521968-97-8P 521968-98-9P 521968-99-0P 521969-00-6P 521969-01-7P 521969-09-5P 521969-10-8P 521969-11-9P 521969-12-0P 521969-13-1P 521969-14-2P 521969-15-3P 521969-16-4P 521969-17-5P 521969-18-6P 521969-19-7P 521969-20-0P 521969-21-1P 521969-22-2P 521969-23-3P 521969-25-5P 521969-27-7P 521969-29-9P 521969-31-3P 521969-33-5P 521969-35-7P 521969-37-9P 521969-39-1P 521969-41-5P 521969-42-6P 521969-93-7P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (preparation of 3-substituted 4-pyrimidones as tau protein kinase 1 inhibitors) RN 521968-94-5 CAPLUS

4(3H)-Pyrimidinone, 2-(3-benzoyl-1-piperidinyl)-3-methyl-6-(4-pyridinyl)-



CN

(CA INDEX NAME)

CN 4(3H)-Pyrimidinone, 2-[3-(4-fluorobenzoyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521968-96-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(4-methoxybenzoyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521968-97-8 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-(2-methoxybenzoyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521968-98-9 CAPLUS

CN 3-Piperidinecarboxamide, 1-[1,6-dihydro-1-methyl-6-oxo-4-(4-pyridinyl)-2-pyrimidinyl]-N-phenyl- (CA INDEX NAME)

RN 521968-99-0 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[(3,4-dihydro-1(2H)-quinolinyl)carbonyl]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-00-6 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[3-(1-piperidinylcarbonyl)-1-piperidinyl]-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-01-7 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[3-(4-morpholinylcarbonyl)-1-piperidinyl]-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-09-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-benzoyl-1-piperidinyl)-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-10-8 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(2-fluorobenzoyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-11-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(3-fluorobenzoyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-12-0 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(4-fluorobenzoyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-13-1 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(2-methoxybenzoyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-14-2 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(3-methoxybenzoyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-15-3 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(4-methoxybenzoyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-16-4 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(3-chlorobenzoyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-17-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(4-chlorobenzoyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-18-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(4-bromobenzoyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-19-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(2,3-dimethoxybenzoyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-20-0 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(2,4-difluorobenzoyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-21-1 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(3,4-difluorobenzoyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-22-2 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-6-(4-pyridinyl)-2-[4-(2-thienylcarbonyl)-1-piperidinyl]- (CA INDEX NAME)

RN 521969-23-3 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(2-furanylcarbonyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-25-5 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-6-(4-pyridinyl)-2-[4-(2-pyridinylcarbonyl)-1-piperidinyl]- (CA INDEX NAME)

RN 521969-27-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(1H-indol-1-ylcarbonyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-29-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[(3,4-dihydro-1(2H)-quinolinyl)carbonyl]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-31-3 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[(2,3-dihydro-1H-indol-1-yl)carbonyl]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-33-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-35-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[(5-chloro-2-thienyl)carbonyl]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-37-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-([1,1'-biphenyl]-4-ylcarbonyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-39-1 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-([1,1'-biphenyl]-2-ylcarbonyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-41-5 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-[4-(1-naphthalenylcarbonyl)-1-piperidinyl]-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-42-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(4-fluoro-2-methoxybenzoyl)-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RN 521969-93-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[3-[(2,3-dihydro-1H-indol-1-yl)carbonyl]-1-piperidinyl]-3-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 8 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN L4
- AN 2003:261819 CAPLUS
- DN 138:287693
- TΙ Preparation of 6-pyrimidinyl 3-substituted-4-pyrimidones that inhibit tau protein kinase 1 useful against neurodegenerative diseases like Alzheimer's disease
- Uehara, Fumiaki; Aritomo, Keiichi; Shoda, Aya; Hiki, Shinsuke; Okuyama, ΙN Masahiro; Usui, Yoshihiro; Ooizumi, Mitsuru; Watanabe, Kazutoshi
- Mitsubishi Pharma Corporation, Japan; Sanofi-Synthelabo PΑ
- SO PCT Int. Appl., 135 pp.
 - CODEN: PIXXD2
- DT Patent

LA English																	
FAN.CNT 2 PATENT NO.				KIND		DATE											
PI	WO 200 W:	30270 AE, CO, GM,	AG, CR, HR,	AL, CU, HU,	A1 AM, CZ, ID,	AT, DE, IL,	2003 AU, DK, IN,	0403 AZ, DM, IS,	BA, DZ, JP,	WO BB EC KE	2002- , BG, , EE, , KG,	 -JP96 BR, ES, KR, MZ,	84 BY, FI, KZ,	BZ, GB, LC,	CA, GD, LK,	0020 CH, GE, LR,	920 CN, GH, LS,
	RW	UG, : GH, KG, FI,	US, GM, KZ, FR,	UZ, KE, MD, GB,	VC, LS, RU, GR,	VN, MW, TJ, IE,	YU, MZ, TM, IT,	ZA, SD, AT, LU,	ZM, SL, BE, MC,	ZW SZ BG NL	, TZ, , CH,	CY, SE,	ZM, CZ, SK,	ZW, DE, TR,	AM, DK,	AZ, EE,	BY, ES,
	CA 2460177 AU 2002337498			A1 20030403 A1 20030407 B2 20060810 A1 20040616			AU 2002-337498				20020920						
	EP 142 R: BR 200	AT, IE, 20128	BE, SI, 93	CH, LT,	B1 DE, LV,	DK, FI,		FR, MK,	GB, CY,	GR AL	, IT, , TR,	LI, BG,	LU, CZ,	NL, EE,	SE, SK	MC,	
	BR 2002012893 CN 1555367 CN 1319950 HU 2004001898 HU 2004001898 JP 2005510472 AT 312827 NZ 531637 ES 2256540 CN 1810802 CN 101274928			A 20041215 C 20070606 A2 20041228			0606 1228	BR 2002-12893 CN 2002-818017 HU 2004-1898					20020920				
							NZ 2002-531637 ES 2002-772870				20020920 20020920 20020920 20020920						
ZA 2004001845 ZA 2004001850 MX 2004002661			A 20050307 A 20050307 A 20041122			CN 2005-10097948 CN 2008-10007669 ZA 2004-1845 ZA 2004-1850 MX 2004-2661				20040305 20040305 20040319							
PRAI	KR 754 NO 200 IN 200 HK 106 US 200 JP 200	40016 4CN00 8608 50130 1-331	821 967		B1 A A A1 A1		2007 2004 2006 2006 2005 2001	0617 0113 0721 0616 0921		HK IN	2004-	-7041 -1604 -CN82 -1096 -4896	1		21	0040 0040 0040 0041 0050	420 420 207
	JP 200 JP 200 JP 200	1-331			A A A		2001 2001 2001	0921									

 JP 2001-331677
 A
 20010921

 CN 2002-818469
 A3
 20020920

 WO 2002-JP9684
 W
 20020920

OS MARPAT 138:287693

AB 6-Pyrimidinyl 3-substituted-4-pyrimidones (shown as I; variables defined below; e.g. 3-methyl-2-(2-oxo-2-phenylethylamino)-6-pyrimidin-4-yl-3H-pyrimidin-4-one), or a salt, solvate or hydrate thereof, having inhibitory activity against tau protein kinase 1 are claimed. For I: R1 = C1-C12 alkyl that may be substituted; R = NHCR2R3C(0)R4, etc. (R2 and R3 = H or a C1-C8 alkyl group; R4 = (un)substituted benzene, naphthalene, indan, tetrahydronaphthalene, or heterocyclic ring having 1-4 hetero atoms O atom, S atom and N atom, and having 5-10 ring-constituting atoms in total). Although the methods of preparation are not claimed, 5 example prepns. and characterization data for 75 examples of I are included. IC50 values for inhibition of tau protein kinase 1 by 77 examples of I are tabulated. Two examples of pharmaceutical formulations based on I are listed.

503860-59-1P, 2-[4-(4-Chlorobenzoyl)piperidin-1-yl]-3-methyl-6-(pyrimidin-4-yl)-3H-pyrimidin-4-one 503861-31-2P,

2-[3-(4-Fluorobenzoy1)piperidin-1-yl]-3-methyl-6-(pyrimidin-4-yl)-3H-pyrimidin-4-one 503861-32-3P,

 $2-(3-\text{Benzoylpiperidin}-1-\text{yl})-3-\text{methyl}-6-(\text{pyrimidin}-4-\text{yl})-3\text{H-pyrimidin}-4-\text{one} \\ 503861-33-4\text{P, } 2-[3-(2-\text{Methoxybenzoyl})\text{piperidin}-1-\text{yl}]-3-\text{methyl}-6-\\ (\text{pyrimidin}-4-\text{yl})-3\text{H-pyrimidin}-4-\text{one } 503861-34-5\text{P, } \\$

 $2-[3-(4-{\tt Methoxybenzoyl})\,{\tt piperidin-1-yl}]-3-{\tt methyl-6-(pyrimidin-4-yl)-3H-pyrimidin-4-one}$

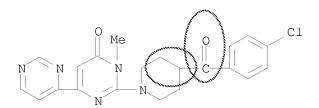
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrimidinyl pyrimidones that inhibit tau protein kinase 1 useful against neurodegenerative diseases like Alzheimer's disease)

RN 503860-59-1 CAPLUS

CN

[4,4'-Bipyrimidin]-6(1H)-one, 2-[4-(4-chlorobenzoy1)-1-piperidiny1]-1-methyl- (CA INDEX NAME)



RN 503861-31-2 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-(4-fluorobenzoyl)-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 503861-32-3 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-(3-benzoyl-1-piperidinyl)-1-methyl- (CA INDEX NAME)

RN 503861-33-4 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-(2-methoxybenzoyl)-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 503861-34-5 CAPLUS

CN [4,4'-Bipyrimidin]-6(1H)-one, 2-[3-(4-methoxybenzoyl)-1-piperidinyl]-1-methyl- (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 9 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
L4
     2001:709746 CAPLUS
ΑN
     135:257261
DN
     Preparation of 2-(piperidin-1-yl)pyrimidones for preventive and/or
TI
     therapeutic treatment of a neurodegenerative disease caused by abnormal
     activity of GSK3\beta
ΙN
     Almario-Garcia, Antonio; Frost, Jonathan Reid; Li-Tak, Adrien
     Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo Pharmaceuticals, Inc.
PA
     Eur. Pat. Appl., 14 pp.
     CODEN: EPXXDW
DT
     Patent
LA
     English
FAN.CNT 3
                        KIND DATE
                                           APPLICATION NO.
     PATENT NO.
                                                                   DATE
                        ____
                                           ______
     EP 1136489
                         A1 20010926 EP 2000-400802
                                                                   20000323
РΤ
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     WO 2001070728
                         A1 20010927
                                           WO 2001-EP3639
                                                                    20010322
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 2001062150
                     A 20011003 AU 2001-62150
                               20000323
                         А
PRAI EP 2000-400801
                         A
     EP 2000-400802
                               20000323
     EP 2000-400803
                        A 20000323
     WO 2001-EP3639
                         W
                                20010322
OS
    MARPAT 135:257261
AΒ
     The title compds. [I; R1 = (un) substituted aryl, heterocyclic ring having
     1-4 hetero atoms selected from O, S, and N atoms, (un)substituted alkyl;
     R2 = pyridyl optionally substituted by alkyl, alkoxy or halo] and their
     salts, useful for preventive and/or therapeutic treatment of a
     neurodegenerative disease caused by abnormal activity of GSK3\beta, such
     as Alzheimer's disease, Parkinson's disease, frontoparietal dementia,
     corticobasal degeneration, Pick's disease, cerebrovascular accidents,
     brain and spinal trauma, and peripheral neuropathy, were prepared and
     formulated. E.g., a 3-step synthesis of I [R1 = Ph; R2 = 4-pyridyl] was
     given. All exemplified compds. I showed IC50's of 0.5-10~\mu\mathrm{M} against
     GSK3\beta.
     362467-40-1P 362467-42-3P 362467-44-5P
ΙT
     362467-46-7P 362467-48-9P 362467-49-0P
     362467-50-3P 362467-51-4P 362467-52-5P
     362467-53-6P 362467-54-7P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of 2-(piperidin-1-yl)pyrimidones for preventive and/or
        therapeutic treatment of a neurodegenerative disease caused by abnormal
        activity of GSK3\beta)
RN
     362467-40-1 CAPLUS
     4(3H)-Pyrimidinone, 2-(4-phenyl-1-piperidinyl)-6-(4-pyridinyl)- (CA INDEX
CN
```

NAME)

Two differences:
- (1) no unsaturation
- (2) the position of
the phenyl sub on

RN 362467-42-3 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[(4-methoxyphenyl)methyl]-1-piperidinyl]-6-(4-pyridinyl)- (CA INDEX NAME)

piperidine

RN 362467-44-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(2-phenylethyl)-1-piperidinyl]-6-(4-pyridinyl)-(CA INDEX NAME)

RN 362467-46-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(2-furanylhydroxymethyl)-1-piperidinyl]-6-(4-pyridinyl)- (CA INDEX NAME)

RN 362467-48-9 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(3-cyclohexylpropyl)-1-piperidinyl]-6-(4-pyridinyl)- (CA INDEX NAME)

RN 362467-49-0 CAPLUS CN 4(3H)-Pyrimidinone, 2-[4-(1H-imidazol-5-yl)-1-piperidinyl]-6-(4-pyridinyl)-(CA INDEX NAME)

RN 362467-50-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-(4-pyridinyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 362467-49-0 CMF C17 H18 N6 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 362467-51-4 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(phenylmethyl)-1-piperidinyl]-6-(4-pyridinyl)-(CA INDEX NAME)

RN 362467-52-5 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(phenylmethyl)-1-piperidinyl]-6-(4-pyridinyl)-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 362467-51-4 CMF C21 H22 N4 O

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 362467-53-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(1H-indol-3-yl)-1-piperidinyl]-6-(4-pyridinyl)-(CA INDEX NAME)

RN 362467-54-7 CAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(1H-indol-5-yl)-1-piperidinyl]-6-(4-pyridinyl)-(CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 10 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
T.4
     2001:709740 CAPLUS
ΑN
DN
     135:257256
     Preparation of 2-amino-3-alkyl-pyrimidones as GSK3\beta inhibitors
TI
IN
     Almario-Garcia, Antonio; Frost, Jonathan Reid; Li, Adrien-Tak; Ando,
     Ryoichi; Watanabe, Kazutoshi
PA
     Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo Pharmaceuticals, Inc.
     Eur. Pat. Appl., 20 pp.
SO
     CODEN: EPXXDW
DT
     Patent
     English
LA
FAN.CNT 1
                                         APPLICATION NO.
     PATENT NO.
                        KIND DATE
                                                                   DATE
                        A1 20010926 EP 2000-400800 20000323
     EP 1136482
РΤ
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                          CA 2001-2401241
     CA 2401241
                                20010927
                                                                     20010322
                         A1
                                          WO 2001-EP3640
     WO 2001070729
                          Α1
                                 20010927
                                                                     20010322
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 2001081487
                         Α
                              20011003 AU 2001-81487
                                                                     20010322
     EP 1276738
                                 20030122
                                            EP 2001-959921
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     EP 1276738
                          В1
                                 20071212
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             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     CN 1419552
                        Α
                                20030521
                                            CN 2001-806991
                                                                     20010322
     CN 1247567
                         С
                                20060329
                        T 2003032
A 20070110
T 20071215
     JP 2003528095
                               20030924
                                            JP 2001-568932
                                                                     20010322
     CN 1891220
                                          CN 2006-10006135
     AT 380806
                                            AT 2001-959921
                                                                     20010322
     ES 2001-959921
                                                                     20010322
                                            KR 2002-712431
                                                                     20020919
                                            US 2002-221598
                                                                    20021202
                        A1 20050616
                                            US 2005-35264
     US 20050130998
                                                                     20050113
                         В2
     US 7378413
                               20080527
                         A
PRAI EP 2000-400800
                               20000323
     CN 2001-806991
                         А3
                               20010322
                         W
     WO 2001-EP3640
                               20010322
     US 2002-221598
                         АЗ
                                20021202
OS
     MARPAT 135:257256
     The title compds. [I; R1 = H, alkyl; R2 = (un)substituted alkyl, alkenyl,
AΒ
     aryl, etc.; or R1 and R2 form together (un)substituted alkylene; or R1 and
     R2 form together (CH2)2X(CH2)2, (CH2)2X(CH2)3 (wherein X = O, S
     (un) substituted NH); R3 = 2-, 3- or 4-pyridyl group optionally substituted
     by alkyl alkoxy or halogen atom; R4 = alkyl optionally substituted by
     aryl], useful for preventive and/or therapeutic treatment of a
     neurodegenerative disease caused by abnormal activity of \text{GSK3}\beta such
     as Alzheimer's disease, Parkinson's disease, frontoparietal dementia,
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10/538,766

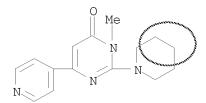
corticobasal degeneration, Pick's disease, cerebrovascular accidents, brain and spinal trauma, and peripheral neuropathies, were prepared and formulated. The compds. I synthesized by reacting 3-methyl-2-(methylthio)-6-pyridin-4-ylpyrimidin-4(3H)-one (preparation given) with the corresponding amine or by N-alkylation of the substituted 2-amino-3-methylpyrimidinone with alkyl iodide. The compds. I showed IC50's of 0.1-10 $\mu\rm M$ against GSK3 β .

IT 362013-99-8P 362014-00-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 2-amino-3-alkyl-pyrimidones as $GSK3\beta$ inhibitors)

RN 362013-99-8 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-(1-piperidinyl)-6-(4-pyridinyl)- (CA INDEX NAME)



Two differences:
- no double bond
- no substituent @ 3position

RN 362014-00-4 CAPLUS

CN 4(3H)-Pyrimidinone, 3-methyl-2-(1-piperidinyl)-6-(4-pyridinyl)-, (2R,3R)-2,3-dihydroxybutanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 362013-99-8 CMF C15 H18 N4 O

CM 2

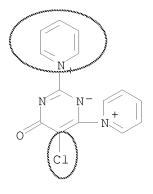
CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/538,766

- L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2001:335201 CAPLUS
- DN 135:137457
- TI Mesomeric betainium salts. Synthesis, X-ray analysis, and ESIMS studies of tripolar pyrimidin-4-olates and pyrimidin-4-aminides
- AU Schmidt, Andreas; Nieger, Martin
- CS Technische Universitat Clausthal, Institut fur Organische Chemie, Clausthal-Zellerfeld, D-38678, Germany
- SO Heterocycles (2001), 55(5), 827-834 CODEN: HTCYAM; ISSN: 0385-5414
- PB Japan Institute of Heterocyclic Chemistry
- DT Journal
- LA English
- OS CASREACT 135:137457
- AB Reaction of tetrachloropyrimidine with pyridine in acetone in the presence of NaI yielded the pyrimidin-4-olate (3; shown as I, X = 0). Applying analogous reaction conditions to 4-amino substituted 2,5,6-trichloropyrimidine gave bispyridinium salts which yield aminides (6a,b; shown as I, X = NH, NPh) on deprotonation. As evidenced by UV spectroscopy and electrospray MS spectrometry, the title compds. 3 and 6a,b form π -sandwich complexes in solution
- IT 351535-48-3
 - RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative) (identification by electrospray mass spectrometry)
- RN 351535-48-3 CAPLUS
- CN Pyridinium, 1,1'-(5-chloro-1,6-dihydro-6-oxo-2,4-pyrimidinediyl)bis-, inner salt, iodide (2:2:1) (9CI) (CA INDEX NAME)



●1/2 I⁻

- IT 351535-47-2P
 - RL: PEP (Physical, engineering or chemical process); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
- (preparation, crystal structure, neg. solvatochromism and supramol. association

of)

- RN 351535-47-2 CAPLUS
- CN Pyridinium, 1,1'-(5-chloro-1,6-dihydro-6-oxo-2,4-pyrimidinediyl)bis-,
 inner salt, iodide (9CI) (CA INDEX NAME)

• I-

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 12 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
T.4
     2000:227649 CAPLUS
ΑN
     132:265206
DN
     Preparation of pyrimidones for treating diseases caused by tau protein
ΤI
     kinase 1 hyperactivity such as Alzheimer disease
IN
     Watanabe, Kazutoshi; Ando, Ryoichi; Saito, Ken-ichi; Kawamoto, Rie; Shoda,
     Aya
     Mitsubishi Chemical Corporation, Japan
PA
     PCT Int. Appl., 106 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                          KIND
                                               APPLICATION NO.
     PATENT NO.
                                   DATE
                          ____
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     WO 2000018758
                           A1 20000406 WO 1999-JP5224
                                                                        19990924
РΤ
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
              CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
         CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                         В
                                20051011
                                                TW 1999-88116437
                                                                          19990923
     TW 241298
                           A1
                                              CA 1999-2345065
                                  20000406
     CA 2345065
                                                                          19990924
                           Α
     AU 9957599
                                   20000417
                                               AU 1999-57599
                                                                          19990924
                           A1
                           A1 20010718
B1 20031210
     EP 1115721
                                                EP 1999-944815
                                                                          19990924
     EP 1115721
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO
     JP 2002525366 T 20020813
                                                JP 2000-572218
                                                                          19990924
                           Τ
     AT 256123
                                 20031215
                                               AT 1999-944815
                                                                          19990924
                          T 20040430
T3 20040901
     PT 1115721
                                 20040430
                                               PT 1999-944815
                                                                         19990924
     ES 2214045
                                               ES 1999-944815
                                                                         19990924
     US 7256199
                          B1 20070814
                                               US 2001-787426
PRAI JP 1998-271277
                                  19980925
                          A
     JP 1998-305266
                                 19981027
                           Α
     WO 1999-JP5224
                                   19990924
OS
     MARPAT 132:265206
AB
     The title compds. [I; R1 = C1-18 alkyl, C3-18 alkenyl, C3-18 alkenyl,
     etc.; R2 = H, OH, C1-18 alkyl, etc.; R3 = (un)substituted pyridyl], useful
     for preventive and/or therapeutic treatment of a disease caused by tau
     protein kinase 1 hyperactivity such as Alzheimer disease, were prepared and
     formulated. Thus, reacting Et 3-(4-pyridyl)-3-oxopropionate with
     3-amidinopyridine.HCl in the presence of K2CO3 in EtOH afforded I [R1 =
     3-pyridyl; R2 = H; R3 = 4-pyridyl] which showed IC50 of 2.3 \muM against
     P-GS1 phosphorylation by bovine cerebral TPK1.
ΙT
     263244-14-0P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
         (preparation of pyrimidones for treating diseases caused by tau protein
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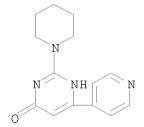
4(3H)-Pyrimidinone, 2-(1-piperidiny1)-6-(4-pyridiny1)- (CA INDEX NAME)

kinase 1 hyperactivity such as Alzheimer disease)

RN

CN

263244-14-0 CAPLUS



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/538,766

L4 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1999:601373 CAPLUS

DN 131:336994

TI Novel dipolar and tripolar betaines: synthesis, ring cleavage, spectroscopic features, and x-ray analysis of a positively charged pyrimidin-4-olate

AU Schmidt, Andreas; Nieger, Martin

CS Ernst-Moritz-Arndt-Universitat Greifswald, Institut fur Chemie und Biochemie, Greifswald, D-17487, Germany

SO Heterocycles (1999), 51(9), 2119-2126 CODEN: HTCYAM; ISSN: 0385-5414

PB Japan Institute of Heterocyclic Chemistry

DT Journal

LA English

AB Reaction of tetrachloropyrimidine with pyridine resulted in the formation of a heteroarom. tripole, 2,6-bispyridiniopyrimidin-4-olate chloride, the structure of which was established by X-Ray crystallog. Amberlite IRA-400 in its hydroxy form converted 2,6-bispyridiniopyrimidin-4-olate chloride into a corresponding stable hydroxide, which undergoes ring-cleavage on titration with sodium hydroxide to pH 9. Thus, a

5-amino-2,4-pentadienal-appended mesomeric betaine was formed.

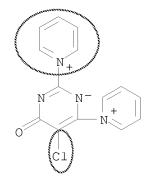
IT 249931-35-9P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of dipolar and tripolar betaines, their ring cleavage, properties, and x-ray structure of hydroxypyridinium inner salt)

RN 249931-35-9 CAPLUS

CN Pyridinium, 1,1'-(5-chloro-1,6-dihydro-6-oxo-2,4-pyrimidinediyl)bis-, inner salt, chloride (9CI) (CA INDEX NAME)



● C1-

IT 249931-36-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of dipolar and tripolar betaines, their ring cleavage, properties, and x-ray structure of hydroxypyridinium inner salt)

RN 249931-36-0 CAPLUS

CN Pyridinium, 1,1'-(5-chloro-1,6-dihydro-6-oxo-2,4-pyrimidinediyl)bis-, inner salt, hydroxide (9CI) (CA INDEX NAME)

● OH-

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/538,766

L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1998:446690 CAPLUS

DN 129:148956

OREF 129:30365a,30368a

TI Heteroaromatic Tripoles. 2,6-Bis(hetarenio)pyrimidin-4-olates: Hybrids between Hetarenium Salts and Cross-Conjugated Mesomeric Betaines

AU Schmidt, Andreas; Kindermann, Markus Karl

CS Ernst-Moritz-Arndt-Universitaet Greifswald, Greifswald, D-17487, Germany

SO Journal of Organic Chemistry (1998), 63(14), 4636-4644 CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

A novel tandem nucleophilic displacement reaction on AR 2,4,5,6-tetrachloropyrimidine leads to mols. with two delocalized pos. and one delocalized neg. charge, which comprise a common π -electron system, plus one external anion. Thus, treatment of 2,4,5,6-tetrachloropyrimidine with an excess of heteroarom. nucleophiles such as 4-(dimethylamino)pyridine, 4-(pyrrolidin-1-yl)pyridine, and 1-methylimidazole, resp., followed by the addition of water formed the tripolar bis(pyridinium)pyrimidin-4-olates I (R = Me2N, 1-pyrrolidinyl; R1 = O-; X = Cl, BPh4, I) and bis(methylimidazolium)pyrimidin-4-olates II (R1 = 0-; X = Cl, BPh4, I). Addition of anhydrous alcs. furnished the O-alkylateddicationic species I (R = Me2N, 1-pyrrolidinyl; R1 = MeO, EtO, Me2CHO; X = BPh4) and II (R1 = MeO, EtO, Me2CHO; X = BPh4). We contrast the spectroscopic features of the monocationic I and II (R1 = 0-) and the dicationic I and II (R1 = MeO, EtO, Me2CHO) and performed a conformational study on I (R = Me2N; R1 = O-; X = no anion) (PM3). The HOMO/LUMO profile of I (R = Me2N; R1 = O-; X = no anion) was calculated to evaluate our classification of I and II as cross-conjugated mesomeric betaine (CCMB) derivs.

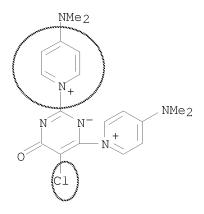
IT 210833-67-3

RL: PRP (Properties)

(conformational anal. and MO calcns. on the [di(4-dimethylamino)pyridinium]pyrimidinium cation)

RN 210833-67-3 CAPLUS

CN Pyridinium, 1,1'-(5-chloro-1,6-dihydro-6-oxo-2,4-pyrimidinediyl)bis[4-(dimethylamino)-, inner salt (9CI) (CA INDEX NAME)



IT 210833-66-2P 210833-68-4P 210833-69-5P 210833-70-8P 210833-72-0P 210833-73-1P

10/538,766

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation of bis(pyridinium)pyrimidinolates and bis(imidazolium)pyrimidinolates as tripolar mesoionic compds.) 210833-66-2 CAPLUS
Pyridinium, 1,1'-(5-chloro-1,6-dihydro-6-oxo-2,4-pyrimidinediyl)bis[4-(dimethylamino)-, inner salt, chloride (9CI) (CA INDEX NAME)

NMe₂
N+
NNMe₂
NMe₂

RN

CN

● C1-

RN 210833-68-4 CAPLUS
CN Pyridinium, 1,1'-(5-chloro-1,6-dihydro-6-oxo-2,4-pyrimidinediyl)bis[4-(dimethylamino)-, inner salt, tetraphenylborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 210833-67-3 CMF C18 H20 C1 N6 O

CM 2

CRN 4358-26-3 CMF C24 H20 B CCI CCS

RN 210833-69-5 CAPLUS

CN Pyridinium, 1,1'-(5-chloro-1,6-dihydro-6-oxo-2,4-pyrimidinediyl)bis[4-(dimethylamino)-, diiodide (9CI) (CA INDEX NAME)

●2 I-

RN 210833-70-8 CAPLUS

CN Pyridinium, 1,1'-(5-chloro-1,6-dihydro-6-oxo-2,4-pyrimidinediyl)bis[4-(1-pyrrolidinyl)-, inner salt, chloride (9CI) (CA INDEX NAME)

● C1-

RN

210833-72-0 CAPLUS
Pyridinium, 1,1'-(5-chloro-1,6-dihydro-6-oxo-2,4-pyrimidinediyl)bis[4-(1-pyrrolidinyl)-, inner salt, tetraphenylborate(1-) (9CI) (CA INDEX NAME) CN

CM

CRN 210833-71-9 CMF C22 H24 C1 N6 O

CM

CRN 4358-26-3 CMF C24 H20 B

CCI CCS

RN 210833-73-1 CAPLUS

CN Pyridinium, 1,1'-(5-chloro-1,6-dihydro-6-oxo-2,4-pyrimidinediyl)bis[4-(1-pyrrolidinyl)-, diiodide (9CI) (CA INDEX NAME)

●2 I-

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1977:189847 CAPLUS

DN 86:189847

OREF 86:29777a,29780a

TI Synthesis with pyrimidinyllithium compounds and properties of a series of 4,4'- and 4,5'-bipyrimidine derivatives

AU Strekowski, Lucjan

CS Uniw. Adama Mickiewicza, Poznan, Pol.

SO Seria Chemia (Uniwersytet im. Adama Mickiewicza w Poznaniu) (1976), 20, 114 pp.

CODEN: SCUCDH; ISSN: 0554-8241

DT Journal

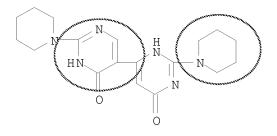
LA Polish

4,5'-Bipyrimidines with methoxy or methylthio substituents at positions 4' AR and 6 were prepared In a typical run, a 5-bromo-4-methoxypyrimidine was treated with .apprx.0.6-0.8 molar equivalent BuLi, kept, then quenched with H2O. 5-Bromo-2, 4-bis(alkylthio)pyrimidines and 5-bromo-2,4-di-tert-butoxypyrimidine (I) in THF gave rise to mixts. of 4,4'- and 4,5'-bipyrimidine, but in Et2O I gave rise to the 4,5'-bipyrimidine only. The bipyrimidines can be formed through the nucleophilic addition of pyrimidinyl-lithiums to 4,5-didehydropyrimidines or to the N(1)-C(6) azomethine bond in the pyrimidine ring of the substrates. 5-Bromo-2,4-dichloropyrimidine on treatment with controlled quantities of nucleophiles, such as alkoxide and alkylmercaptide anions and secondary amines, could exchange its Cl at position 4 with high selectivity. A nucleophilic displacement of the Cl at position 2 in the products gave rise to 5-bromopyrimidines with various substituents at positions 2 and 4. Mass spectra of the pyrimidine derivs. were reported. Some of the compds. showed unusual decomposition patterns of the mol. ions.

IT 59549-60-9P

RN 59549-60-9 CAPLUS

CN [4,5'-Bipyrimidine]-4',6(1H,3'H)-dione, 2,2'-di-1-piperidinyl- (CA INDEX NAME)



10/538,766

- L4 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1976:421278 CAPLUS
- DN 85:21278
- OREF 85:3477a,3480a
- TI Syntheses with pyrimidine-lithium compounds. III. Bipyrimidines from 2,4-disubstituted 5-bromopyrimidines
- AU Strekowski, Lucjan
- CS Inst. Chem., Adam Mickiewicz Univ., Poznan, Pol.
- SO Bulletin de l'Academie Polonaise des Sciences, Serie des Sciences Chimiques (1976), 24(1), 17-28 CODEN: BAPCAQ; ISSN: 0001-4095
- DT Journal
- LA English
- OS CASREACT 85:21278
- AB The bipyrimidines I (R = Me2N, piperidino, and MeO, R1 = MeO; R = MeO, R1 = MeS) were prepared by treating II with BuLi in THF. II (R = R1 = Me3CO) with BuLi in THF gave I and the 4,4'-bipyridine derivs., but in Et2O gave only I.
- IT 59549-60-9P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- RN 59549-60-9 CAPLUS
- CN [4,5'-Bipyrimidine]-4',6(1H,3'H)-dione, 2,2'-di-1-piperidinyl- (CA INDEX NAME)

10/538,766

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